FILE 'HOME' ENTERED AT 17:50:23 ON 30 DEC 2002

=> index chemistry bioscience FILE 'DRUGMONOG' ACCESS NOT AUTHORIZED COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

INDEX 'AGRICOLA, ALUMINIUM, ANABSTR, APOLLIT, AQUIRE, BABS, BIOCOMMERCE, BIOTECHNO, CABA, CAOLD, CAPLUS, CBNB, CEABA-VTB, CEN, CERAB, CIN, COMPENDEX, CONFSCI, COPPERLIT, CORROSION, ENCOMPLIT, ENCOMPLIT2, FEDRIP, GENBANK, INSPEC, INSPHYS, INVESTEXT, IPA, ...'

ENTERED AT 17:50:39 ON 30 DEC 2002

91 FILES IN THE FILE LIST IN STNINDEX

Enter SET DETAIL ON to see search term postings or to view search error messages that display as 0* with SET DETAIL OFF.

- => s (cyclosporin (s) analog?) and (pharmaceutical (s) carrier?) and MeLeu 0* FILE FEDRIP
 - 23 FILES SEARCHED...
 - 43 FILES SEARCHED...
 - 68 FILES SEARCHED...
 - 4 FILE IFIPAT
 - 21 FILE USPATFULL
 - 90 FILES SEARCHED...
 - 2 FILES HAVE ONE OR MORE ANSWERS, 91 FILES SEARCHED IN STNINDEX
- L1 QUE (CYCLOSPORIN (S) ANALOG?) AND (PHARMACEUTICAL (S) CARRIER?) AND MELEU

=> file hits

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 3.18 3.39

FULL ESTIMATED COST

FILE 'USPATFULL' ENTERED AT 17:54:16 ON 30 DEC 2002 CA INDEXING COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'IFIPAT' ENTERED AT 17:54:16 ON 30 DEC 2002 COPYRIGHT (C) 2002 IFI CLAIMS(R) Patent Services (IFI)

=> s 11

L2 21 FILE USPATFULL L3 4 FILE IFIPAT

TOTAL FOR ALL FILES L4 25 L1

=> dup rem
ENTER L# LIST OR (END):14
PROCESSING COMPLETED FOR L4
L5 21 DUP REM L4 (4 DUPLICATES REMOVED)

=> d 15 1-21 ibib abs

L5 ANSWER 1 OF 21 USPATFULL

ACCESSION NUMBER:

2002:259374 USPATFULL

TITLE:

Cyclosporins for the treatment of respiratory diseases

INVENTOR(S): Or, Yat Sun, Cambridge, MA, UNITED STATES

Lazarova, Tsvetelina, Brookline, MA, UNITED STATES

NUMBER KIND DATE

PATENT INFORMATION:

US 2002142946

A1 20021003

APPLICATION INFO.:

US 2001-800856

A1 20010305 (9)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

SANDHYA L. KALKUNTE, ENANTA PHARMACEUTICALS, INC., 500

ARSENAL STREET, WATERTOWN, MA, 02472

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 11 1

LINE COUNT:

945

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel semisynthetic cyclosporin

analogs of Formula (I): ##STR1##

X is absent, --C1-C6 alkyl-, or --C3-C6 cycloalkyl-

Y is selected from the group consisting of:

(i) C(O)--O--R1, where R1 is hydrogen, C1-C6 alkyl, optionally substituted with halogen, heterocyclic, aryl, C1-C6 alkoxy, C1-C6 alkylthio, halogen-substituted C1-C6 alkoxy, or halogen-substituted C1-C6 alkylthio;

(ii) C(O)--S--R1, where R1 is as previously defined;

(iii) C(O)--OCH.sub.2--OC(O)R2, where R2 is C1-C6 alkyl, optionally substituted with halogen, C1-C6 alkoxy; C1-C6 alkylthio, heterocyclic or aryl;

(iv) C(S) = -0 - R1, where R1 is as previously defined, and

(v) C(S)--S--R1, where R1 is as previously defined;

B is -.alpha.Abu-, -Val-, -Thr- or -Nva-; and

U is -(D)Ala-, -(D)Ser-, --[O--(2-hydroxyethyl)(D)Ser]-, --[O-acyl(D)Ser]- or --[O--(2-acyloxyethyl)(D)Ser]-.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 2 OF 21 USPATFULL

DUPLICATE 1

ACCESSION NUMBER:

PATENT ASSIGNEE(S):

2001:125730 USPATFULL

TITLE:

Non-Imuunosuppressive cyclosporins and their use in the

prevention and treatment of HIV infection

INVENTOR(S): Rich, Daniel H., Madison, WI, United States

Solomon, Michael E., Arlington, MA, United States Wisconsin Alumni Research Foundation, Madison, WI,

United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION: US	6270957	B1	20010807	
WO	9910373		19990304	
APPLICATION INFO.: US	1999-242723		19990222	(9)
WO	1998-US17542		19980825	

19990222 PCT 371 date 19990222 PCT 102(e) date

NUMBER	DATE		

PRIORITY INFORMATION:

US 1997-57751P

19970826 (60)

DOCUMENT TYPE:

Utility GRANTED

FILE SEGMENT: PRIMARY EXAMINER:

Park, Hankyel T.

LEGAL REPRESENTATIVE:

Leone, Esq., Joseph T. DeWitt Ross & Stevens S.C.

NUMBER OF CLAIMS:

31

EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 4 Drawing Figure(s); 4 Drawing Page(s)

LINE COUNT: 2601

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are cyclosporin analogs having amino acid

> residue substitutions at positions 1, 3, or 7 of the cyclosporin peptide backbone. Also disclosed are conjugates of these cyclosporin analogs in which an HIV protease inhibitor

moiety is conjugated to the position-7 amino acid residue of the cyclosporin. These compounds simultaneously bind to and inhibit cyclophilin and HIV protease. The compounds have good bioavailability and potent HIV inhibitory activity. They are useful in the treatment and

prevention of HIV-mediated disorders, including AIDS.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 3 OF 21 USPATFULL

2001:202588 USPATFULL ACCESSION NUMBER:

TITLE: Cyclosporin a conjugates and uses therefor INVENTOR(S): Rich, Daniel H., Madison, WI, United States

Solomon, Michael E., Arlington, MA, United States

PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, Madison, WI,

United States (U.S. corporation)

NUMBER KIND DATE ______ US 6316405 B1 20011113 PATENT INFORMATION: APPLICATION INFO.: US 1999-242724 19990222 (9) WO 1998-US17544 19980825

19990222 PCT 371 date 19990222 PCT 102(e) date

NUMBER DATE

PRIORITY INFORMATION: US 1997-57751P 19970826 (60)

DOCUMENT TYPE: Utilitv FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Carlson, Karen Cochrane

ASSISTANT EXAMINER: Tu, Stephen

LEGAL REPRESENTATIVE: Leone, Esq., Joseph T. Dewitt Ross & Stevens S.C.

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 LINE COUNT: 2215

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Disclosed are conjugates of A.beta.-binding peptides and CsA analogs and conjugates of A.beta.-binding peptides and FK506 Binding Peptide inhibitors. These conjugates chemically induce dimerization of either cyclophilin or FK506 Binding Peptide with A.beta. peptide, a major component of amyloid plaques found in neurological disorders such as Alzheimer's disease, multiple sclerosis, and amyotrophic lateral sclerosis. The conjugates are useful in the treatment of neurological diseases involving the formation of amyloid plaques because they inhibit and/or prevent the aggregation and deposition of A.beta. peptide into plaques.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 4 OF 21 USPATFULL

ACCESSION NUMBER: 2001:10715 USPATFULL

Screening methods for the identification of anti-HIV TITLE:

compounds employing a cyclosporine-specific monoclonal

antibody that cross-reacts with HIV-1 p24

Erlanger, Bernard F., Whitestone, NY, United States INVENTOR(S):

Chen, Bi-Xing, New York, NY, United States

PATENT ASSIGNEE(S): The Trustees of Columbia University in the City of New

	York, New York,	NY, Unit	ed States	(U.S.	corporation)
	NUMBER	KIND			
PATENT INFORMATION:	US 6177253 WO 9625175	В1	20010123 19960822		
APPLICATION INFO.:	WO 9625175 US 1998-894295 WO 1996-US2129		19960216 19980108		71 date 02(e) date
cyclosporine A a derivatives and methods of purif anti-HIV compour	Feb 1995, now pa Utility Granted Scheiner, Laurie Parkin, Jeffrey White, John P.Co 6 1 11 Drawing Figur 1749	Ser. No. tented, S. oper & D e(s); 14 T. w uses fected the ful in ein of Hor detected	US 1995-Pat. No. Ounham LLP Drawing For novel hereto. Sp methods of the sting HIV.	Page(s) derivatecificates of sein a su	filed on 17 1092 cives of ally, the cing AIDS, screening for ubject. The
_	or anti-HIV compou				
CAS INDEXING IS AVAILAE		т.			
L5 ANSWER 5 OF 21 US ACCESSION NUMBER: TITLE: INVENTOR(S): PATENT ASSIGNEE(S):	PATFULL 1999:120921 USP Pharmaceutical c Hauer, Birgit, L Meinzer, Armin, Posanski, Ulrich of Richter, Friedri Novartis G, Base	ompositi ahr, Ger Freiburg , Freibu ch, Scho	many, Fed y, Germany arg, Germa onbuhl-Urt	eral Re , Federay, Federay, Federay, Federay, Editor	epublic of ral Republic of deral Republic Switzerland
	NUMBER				

			NUMBER	KIND	DATE
PATENT	INFORMATION:	US	5962017		1999100

PATENT INFORMATION: APPLICATION INFO.:

US 1998-24521

19991005 19980217

RELATED APPLN. INFO.:

Division of Ser. No. US 1995-430770, filed on 27 Apr 1995, now patented, Pat. No. US 5741512 which is a continuation of Ser. No. US 1994-259951, filed on 15 Jun 1994, now abandoned which is a division of Ser. No. US 1992-990734, filed on 15 Dec 1992, now patented, Pat. No. US 5342625 which is a continuation of Ser. No. US 1991-680211, filed on 4 Apr 1991, now abandoned which is a continuation of Ser. No. US 1989-406656,

filed on 19 Sep 1989, now abandoned

	NUMBER	DATE
PRIORITY INFORMATION:	GB 1988-21754	19880916
	GB 1989-2900	19890209
	GB 1989-2903	19890209
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Kishore, Gollamudi	S.
LEGAL REPRESENTATIVE:	Mathias, Marla J.	

NUMBER OF CLAIMS: 198 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 4 Drawing Figure(s); 4 Drawing Page(s)

LINE COUNT: 2736

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Pharmaceutical compositions comprising a cyclosporin, e.g. Ciclosporin or [Nva].sup.2 -Ciclosporin, in "microemulsion pre-concentrate" and microemulsion form. The compositions typically comprise (1.1) a C.sub.1-5 alkyl or tetrahydrofurfuryl di- or partial-ether of a low molecular weight mono- or poly-oxy-alkane diol, e.g. Transcutol or Glycofurol, as hydrophilic component. Compositions are also provided comprising a cyclosporin and (1.1) and, suitably, also a saccharide monoester, e.g. raffinose or saccharose monolaurate. Dosage forms include topical formulations and, in particular, oral dosage forms.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 6 OF 21 USPATFULL

ACCESSION NUMBER: 1998:68992 USPATFULL

TITLE:

Cyclosporins

INVENTOR(S):

Ko, Soo Young, London, Great Britain

Kobel, Hans, Basel, Switzerland

Besemer-Rosenwirth, Brigitte, Modling, Austria

Seebach, Dieter, Zurich, Switzerland Traber, Rene P., Basel, Switzerland Wenger, Roland, Riehen, Switzerland

Bollinger, Pietro, Bottmingen, Switzerland

PATENT ASSIGNEE(S):

Novartis AG, Basel, Switzerland (non-U.S. corporation)

NUMBER	KIND	DATE

PATENT INFORMATION: APPLICATION INFO.:

US 5767069 19980616 US 1995-427312 19950424 (8)

RELATED APPLN. INFO.: Conti

Continuation of Ser. No. US 1994-232795, filed on 25 Apr 1994, now abandoned which is a continuation of Ser. No. US 1993-57067, filed on 3 May 1993, now abandoned which is a continuation of Ser. No. US 1991-785959,

filed on 31 Oct 1991, now abandoned

			NUMBER	DATE
				-
PRIORITY	INFORMATION:	GB	1990-23859	19901102
		GB	1990-23970	19901105
		GB	1990-23971	19901105
		GB	1990-23972	19901105
		GB	1991-16836	19910805

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Achutamurthy, Ponnathapura

ASSISTANT EXAMINER: Wessendorf, T. D.

LEGAL REPRESENTATIVE: Mathias, Marla J., McGovern, Thomas O.

NUMBER OF CLAIMS: 6 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 3 Drawing Figure(s); 3 Drawing Page(s)

LINE COUNT: 779

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Nonimmunosuppressant cyclosporin derivatives having cyclophilin-binding activity, for example, the compound, [MeIle].sup.4 -ciclosporin, are useful in inhibiting HIV-1 replication in treating AIDS and AIDS related disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 7 OF 21 USPATFULL

ACCESSION NUMBER: 97:56636 USPATFULL

TITLE:

O-acylated cyclosporins

INVENTOR(S):

Boelsterli, Johann Jakob, Buus, Switzerland Eberle, Marcel Karl, Riehen, Switzerland Naef, Reto, Rheinfelden, Switzerland Payne, Trevor Glyn, Berne, Switzerland

PATENT ASSIGNEE(S):

Sandoz Ltd., Basel, Switzerland (non-U.S. corporation)

KIND DATE NUMBER _____

PATENT INFORMATION: APPLICATION INFO.:

US 5643870 19970701 US 1993-23525 19930226 (8)

NUMBER DATE _____

PRIORITY INFORMATION: GB 1992-4466 19920302

DOCUMENT TYPE:

Utility Granted

FILE SEGMENT:

Tsang, Cecilia

PRIMARY EXAMINER: ASSISTANT EXAMINER:

Marshall, S. G.

LEGAL REPRESENTATIVE: Honor, Robert S., Kassenoff, Melvyn M., McGovern,

Thomas O.

NUMBER OF CLAIMS:

11

EXEMPLARY CLAIM: LINE COUNT:

770

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A cyclosporin of the formula ##STR1## wherein A is a residue of the formula ##STR2## wherein R is hydrogen, C.sub.1-3 alkyl, C.sub.1-3 alkoxy or C.sub.1-3 alkylthio; halo-substituted-C.sub.1-3 alkyl,

-C.sub.1-3 alkoxy or -C.sub.1-3 alkylthio; hydroxy-substituted-C.sub.1-3 alkyl, -C.sub.2-3 alkoxy or -C.sub.2-3 alkylthio; or amino or mono- or

di-(C.sub.1-2 alkyl)-amino,

X is oxygen or sulphur,

--x--y-- is --CH.dbd.CH-- (trans) or --CH.sub.2 --CH.sub.2 --,

B is -.alpha.Abu-, -Val-, -Thr- or -Nva- and

Q is -(D)Ala-; -(D)Ser-; -[O-(2-hydroxyethyl)(D)Ser]-; or -[O-acyl(D)Ser]-or-[O-(2-acyloxy ethyl)(D)Ser]-

in which the acyl residue is physiologically hydrolysable and acceptable, are useful in the topical treatment of asthma.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 8 OF 21 USPATFULL

ACCESSION NUMBER:

97:14569 USPATFULL

TITLE:

Method for the detection of HIV-1 using a

cyclosporine-specific monoclonal antibody that reacts

with the P24 Gag protein

INVENTOR(S):

Erlanger, Bernard F., Whitestone, NY, United States

Chen, Bi-Xing, New York, NY, United States

PATENT ASSIGNEE(S):

The Trustees of Columbia University in the City of New York, New York, NY, United States (U.S. corporation)

NUMBER KIND DATE _____ ____ US 5604092 19970218 US 1995-390133 19950217 (8) PATENT INFORMATION: APPLICATION INFO.:

RELATED APPLN. INFO.:

Continuation-in-part of Ser. No. US 1993-6169, filed on 15 Jan 1993, now patented, Pat. No. US 5405785 which is a continuation of Ser. No. US 1992-869219, filed on 13 Apr 1992, now abandoned which is a continuation of Ser. No. US 1988-280009, filed on 5 Dec 1988, now abandoned

DOCUMENT TYPE: FILE SEGMENT:

Utility Granted

PRIMARY EXAMINER: ASSISTANT EXAMINER:

Budens, Robert D. Parkin, Jeffrey S. White, John P.

LEGAL REPRESENTATIVE:

NUMBER OF CLAIMS:

EXEMPLARY CLAIM:

NUMBER OF DRAWINGS:

19 Drawing Figure(s); 14 Drawing Page(s)

LINE COUNT:

1725

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Monoclonal antibodies to cyclosporine A (CsA), a potent immunosuppressant, were generated in Balb/c mice using a novel antigen prepared by linking CsA to a protein carrier via a photoactive cross-linking reagent, 4-benzoylbenzoic acid (BBa). Twenty-two monoclonal anti-CsA antibodies were generated, using CsA-BBa-bovine serum albumin (CsA-BBa-BSA) as the immunogen. Epitope mapping studies were performed using a series of singly substituted CsA derivatives and an antibody was identified that recognizes N-methyl leucine residues 9 and 10 of CsA. This antibody also cross-reacts with the HIV-1 Gag protein. A method for the detection of HIV-1 in patient samples employing this monoclonal antibody is disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 9 OF 21 USPATFULL

ACCESSION NUMBER:

96:50887 USPATFULL

TITLE:

Cyclosporins and their use as pharmaceuticals Bollinger, Pietro, Bottmingen, Switzerland Bolsterli, Johann J., Buus, Switzerland Payne, Trevor G., Bern; all of, Switzerland

PATENT ASSIGNEE(S):

INVENTOR(S):

Sandoz Ltd., Basel, Switzerland (non-U.S. corporation)

NUMBER KIND DATE US 5525590 19960611 US 1994-337346 19941110 (8)

PATENT INFORMATION: APPLICATION INFO.:

RELATED APPLN. INFO.:

Continuation of Ser. No. US 1993-67274, filed on 24 May 1993, now abandoned which is a continuation of Ser. No. US 1992-874676, filed on 27 Apr 1992, now abandoned which is a continuation of Ser. No. US 1991-704758,

filed on 23 May 1991, now abandoned which is a continuation of Ser. No. US 1988-208422, filed on 17

Jun 1988, now abandoned

•	NUMBER	DATE
PRIORITY INFORMATION:	GB 1987-14090	19870617
	GB 1987-14093	19870617
	GB 1987-14098	19870617
	GB 1987-14100	19870617
	GB 1987-14115	19870617
	GB 1987-14118	19870617
	GB 1987-14119	19870617
	GB 1987-14125	19870617
DOCUMENT TYPE.	II+: 1:+	

DOCUMENT TYPE: FILE SEGMENT:

Utility Granted

PRIMARY EXAMINER:

Russel, Jeffrey E.

LEGAL REPRESENTATIVE:

Honor, Robert S., Kassenoff, Melvyn M., McGovern,

Thomas O.

NUMBER OF CLAIMS: 5 EXEMPLARY CLAIM: 1 LINE COUNT: 2011

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Cyclosporins wherein the residue at the 1-position (typically -MeBmt- or -dihydro-MeBmt-) is 3'O-acylated or 3'-oxo or -C.sub.1-4 alkoxyimino

substituted, or wherein the residue at the 2-position is .beta.-O-acyl or .beta.-oxo substituted, or wherein the residue at the 2-position is -Ile-, or wherein the residue at the 11-position is -MeAls-, -MeIle- or -MealloIle- as well as various naturally occurring cyclosporins/dihydro-derivatives thereof, are useful in reversing resistance to chemotherapy, in particular resistance to cytostatic or anti-neoplastic therapy. Various of these cyclosporins and intermediates for their production are novel. Intermediates wherein the residue (e.g. -MeBmt-, -dihydro-MeBmt-etc.) at the 1-position is 8'-alkoxy or 7'-desmethyl-7'-hydrocarbyl substituted are novel and useful as immunosuppressants, anti-inflammatory and anti-parasitic agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 10 OF 21 USPATFULL

ACCESSION NUMBER: 94:84081 USPATFULL

TITLE: Derivatives of cyclosporina A, antibodies directed

thereto and uses thereof

INVENTOR(S): Erlanger, Bernard F., Whitestone, NY, United States

Cleveland, William L., New York, NY, United States Cacalano, Nicholas A., Irvington, NY, United States

PATENT ASSIGNEE(S): The Trustees of Columbia University in the City of New

York, NY, United States (U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 5350574 19940927 APPLICATION INFO.: US 1991-699468 19910513 (7)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1988-280009, filed

on 5 Dec 1988, now abandoned

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Kim, Kay K.
LEGAL REPRESENTATIVE: White, John P.

NUMBER OF CLAIMS: 27 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 11 Drawing Figure(s); 11 Drawing Page(s)

LINE COUNT: 1350

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

This invention provides a molecule comprising cyclosporine A or a congener of cyclosporine A which is photochemically attached to a ligand containing a reactive group. This invention also provides a composition of matter which comprises a conjugate of a compound and the aforementioned molecule wherein the compound is bound to the molecule through the reactive group. This invention further provides an antibody directed to the aforementioned composition of matter specific for cyclosporine A or congener of cyclosporine A. This invention also provides methods for detecting the presence of cyclosporine A or congener thereof, methods for detecting the concentration of cyclosporine A or congener thereof, as well as a method of monitoring levels of cyclosporine A or congener of cyclosporine A in a subject. Finally, this invention provides a method of reducing the amount of endogenous immunoregulatory substances, a method of testing the potential of a pharmalogical agent as an immunoactive agent, as well as a method of testing a pharmalogical agent for immunosuppressive activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 11 OF 21 USPATFULL DUPLICATE 2

ACCESSION NUMBER: 93:67600 USPATFULL

TITLE: 6-position cyclosporin a analogs as modifiers of cytotoxic drug resistance

INVENTOR(S): Durette, Philippe L., New Providence, NJ, United States

PATENT ASSIGNEE(S): Merck & Co., Inc., Rahway, NJ, United States (U.S.

corporation)

NUMBER KIND DATE _____ ___ US 5236899 PATENT INFORMATION: 19930817 APPLICATION INFO.: US 1991-752169 19910823 (7) DISCLAIMER DATE: 20070403 Continuation of Ser. No. US 1989-425262, filed on 23 RELATED APPLN. INFO.: Oct 1989, now abandoned which is a continuation-in-part of Ser. No. US 1987-121827, filed on 16 Nov 1987, now patented, Pat. No. US 4914188, issued on 3 Apr 1990 Utility DOCUMENT TYPE: FILE SEGMENT: Granted PRIMARY EXAMINER: Chan, Y. Christina LEGAL REPRESENTATIVE: Panzer, Curtis C., Speer, Raymond M. NUMBER OF CLAIMS: 5 EXEMPLARY CLAIM: 840 LINE COUNT: CAS INDEXING IS AVAILABLE FOR THIS PATENT. Cyclosporin analogs containing a MeAla or MeAbu residue at the 6-position of the cyclic undecapeptide have been discovered to sensitize multidrug resistant cells to certain chemotherapeutic agents. These cyclosporin analogs have also been shown to increase the sensitivity of cells already susceptible to the chemotherapeutic agents. In addition, these cyclosporin A analogs lack the nephrotoxic and immunosuppressive activity of cyclosporin A. CAS INDEXING IS AVAILABLE FOR THIS PATENT. ANSWER 12 OF 21 USPATFULL ACCESSION NUMBER: 93:42149 USPATFULL TITLE: Synthesis of novel immunosuppressive cyclosporin analogs with modified amino acids at position-8 Patchett, Arthur A., Westfield, NJ, United States INVENTOR(S): Taub, David, Metuchen, NJ, United States Goegelman, Robert T., Linden, NJ, United States Merck & Co., Inc., Rahway, NJ, United States (U.S. PATENT ASSIGNEE(S): corporation) NUMBER KIND DATE ______ US 5214130 PATENT INFORMATION: APPLICATION INFO.: US 5214130 19930525 US 1991-744039 19910812 (7) RELATED APPLN. INFO.: Division of Ser. No. US 1990-485920, filed on 27 Feb 1990, now patented, Pat. No. US 5122511 DOCUMENT TYPE: Utility FILE SEGMENT: Granted PRIMARY EXAMINER: PRIMARY EXAMINER: Lee, Lester L. ASSISTANT EXAMINER: Davenport, A. M. LEGAL REPRESENTATIVE: Panzer, Curtis C., Speer, Raymond M. NUMBER OF CLAIMS: 6 EXEMPLARY CLAIM: 1

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

New immunosuppressive cyclosporin analogs are

637

disclosed consisting of [dehydro-Ala].sup.8 cyclosporins and derived therefrom cyclosporins having a sulfur containing

amino acid at position-8.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 13 OF 21 USPATFULL

LINE COUNT:

ACCESSION NUMBER: 92:49045 USPATFULL

Immunosuppressive cyclosporin analogs TITLE:

with modified amino acids at position-8

Patchett, Arthur A., Westfield, NJ, United States INVENTOR(S):

Taub, David, Metuchen, NJ, United States

Goegelman, Robert T., Linden, NJ, United States

Merck & Co., Inc., Rahway, NJ, United States (U.S. PATENT ASSIGNEE(S):

corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 5122511 19920616
APPLICATION INFO.: US 1990-485920 19900227 (7)
DOCUMENT TYPE: Utility

FILE SEGMENT:

Granted

PRIMARY EXAMINER: Lee, Lester L.
ASSISTANT EXAMINER: Davenport, A. M.

LEGAL REPRESENTATIVE: Panzer, Curtis C., Pfeiffer, Hesna J.

NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
LINE COUNT: 670

LINE COUNT:

670

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

New immunosuppressive cyclosporin analogs are

disclosed consisting of [dehydro-Ala].sup.8 cyclosporins and derived therefrom cyclosporins having a sulfur containing

amino acid at position-8.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 14 OF 21 USPATFULL

ACCESSION NUMBER: 92:42742 USPATFULL

TITLE:

Cyclosporin peptolides having an .alpha.hydroxycarboxylic acid at position 8

INVENTOR(S):

Dreyfuss, Michael M., Basel, Switzerland Schreier, Max H., Basel, Switzerland Tscherter, Hans, Allschwil, Switzerland

PATENT ASSIGNEE(S):

Sandoz Ltd., Basel, Switzerland (non-U.S. corporation)

NUMBER KIND DATE _____

PATENT INFORMATION: US 5116816 19920526 APPLICATION INFO.: US 1988-209680 19880620 (7)

NUMBER DATE

PRIORITY INFORMATION: CH 1987-2317 19870619 CH 1987-2517 19870702

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Chan, Christina

LEGAL REPRESENTATIVE: Sharkin, Gerald D., Honor, Robert S., McGovern, Thomas

NUMBER OF CLAIMS:

1,9

EXEMPLARY CLAIM:

LINE COUNT:

511

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Cyclic peptolides having the structure of a cyclosporin in which one amide linkage is replaced by an ester linkage are obtained by

fermentation of fungal strains of the genus Cylindrotrichum Bonorden, or by cyclization of a hydroxy-undecapeptide. The cyclic peptolides have immunosuppressive, anti-inflammatory and anti-parasitic properties.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 15 OF 21 USPATFULL

DUPLICATE 3

ACCESSION NUMBER: 89:97334 USPATFULL

Cyclosporin analogs with modified TITLE:

"C-9 amino acids"

Witzel, Bruce E., Westfield, NJ, United States INVENTOR(S):

Merck & Co., Inc., Rahway, NJ, United States (U.S. PATENT ASSIGNEE(S):

corporation)

NUMBER KIND DATE _____

PATENT INFORMATION: APPLICATION INFO.:

US 4885276 US 1988-261868

19891205 19881024 (7)

DISCLAIMER DATE:

20060117

DOCUMENT TYPE: Utility

RELATED APPLN. INFO.: Division of Ser. No. US 1987-57196, filed on 3 Jun 1987

FILE SEGMENT:

Granted

PRIMARY EXAMINER: Phillips, Delbert R.

LEGAL REPRESENTATIVE: North, Robert J., DiPrima, Joseph F.

NUMBER OF CLAIMS: 8 EXEMPLARY CLAIM:

1

LINE COUNT:

668

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

New cyclosporin analogs with modified "C-9 amino

acids" have been made and are found to be effective immunosuppressive

agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

DUPLICATE 4 ANSWER 16 OF 21 USPATFULL

ACCESSION NUMBER:

89:4543 USPATFULL

TITLE:

New cyclosporin analogs with modified "C-9 amino acids"

INVENTOR(S):

Witzel, Bruce E., Westfield, NJ, United States Merck & Co., Inc., Rahway, NJ, United States (U.S.

corporation)

NUMBER KIND DATE ______

PATENT INFORMATION:

PATENT ASSIGNEE(S):

US 4798823 19890117 US 1987-57196 19870603 (7)

APPLICATION INFO.: DOCUMENT TYPE:

Utility

FILE SEGMENT: Granted
PRIMARY EXAMINER: Phillips, Delbert R.
LEGAL REPRESENTATIVE: DiPrima, Joseph F., Sudol, Michael C., Monaco, Mario A.

NUMBER OF CLAIMS:

NUMBER OF CEATM:

1

778

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

New cyclosporin analogs with modified "C-9 amino

acids" have been made and are found to be effective immunosuppressive

agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 17 OF 21 USPATFULL

ACCESSION NUMBER:

88:59156 USPATFULL Novel cyclosporins

TITLE: INVENTOR(S):

Seebach, Dieter, Zurich, Switzerland

PATENT ASSIGNEE(S):

Sandoz Ltd., Basel, Switzerland (non-U.S. corporation)

NUMBER KIND DATE _____

PATENT INFORMATION: APPLICATION INFO.:

US 4771122 19880913 US 1987-103990 19871001 (7)

RELATED APPLN. INFO.: Division of Ser. No. US 1986-837434, filed on 7 Mar

1986, now patented, Pat. No. US 4703033

NUMBER DATE GB 1985-11029 19850501 GB 1985-6230 19850511 PRIORITY INFORMATION:

GB 1985-6230 19850511 GB 1986-2370 19860131

DOCUMENT TYPE: Utility Granted FILE SEGMENT:

PRIMARY EXAMINER: Phillips, Delbert R.

LEGAL REPRESENTATIVE: Sharkin, Gerald D., Honor, Robert S., McGovern, Thomas

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 1157 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Cylosporins e.g. of formula II ##STR1## in which X is -MeBmt- or -dihydro-MeBmt- and

Y is -.alpha.Abu-, -Thr-, -Val- or -Nva-,

wherein the residue at the 3-position, i.e. the residue Z in formula II, is an optically active, .alpha.-N-methylated .alpha.-amino acid residue of the (D)-configuration, possess pharmaceutical, in particular immunosuppressive, anti-inflammatory and anti-parasitic activity, Intermediate cyclosporin poly-anions having a de-protonated sarcosyl residue at the 3-position, e.g. polyanions of cyclosporins of formula II above wherein X and Y have the meanings given above and Z is -Sar-, in which the said residue Z is de-protonated, are also novel and part of the invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 18 OF 21 USPATFULL

ACCESSION NUMBER: 88:52079 USPATFULL Novel cyclosporins TITLE:

INVENTOR(S):

INVENTOR(S): Wenger, Roland, Riehen, Switzerland
PATENT ASSIGNEE(S): Sandoz Ltd., Basel, Switzerland (non-U.S. corporation)

NUMBER KIND DATE PATENT INFORMATION: US 4764503 19880816 APPLICATION INFO.: US 1987-49746 19870513 (7)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1986-932760, filed on 19

Nov 1986, now abandoned which is a continuation of Ser. No. US 1985-713259, filed on 19 Mar 1985, now abandoned

DOCUMENT TYPE: Utility Granted FILE SEGMENT:

Phillips, Delbert R. PRIMARY EXAMINER:

LEGAL REPRESENTATIVE: Sharkin, Gerald D., Honor, Robert S., McGovern, Thomas

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 LINE COUNT: 888

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Cyclosporins wherein the amino acid residue at the 8-position is a (D)-acyloxy-.alpha.-amino acid residue, typically of formula ##STR1## wherein X=--MeBmt-- or --dihydro--MeBmt--, Y=--.alpha.Abu--, --Ala--, --Thr--, --Val-- or --Nva--, Z=--Val-- or --Nva-- and Q=R.sub.1 --CO--O--CH(R.sub.2)--CH(CO--)--NH-- wherein R.sub.1 =H, C.sub.1-4 alkyl or phenyl and R.sub.2 = H or CH.sub.3, possess immunosuppressive, anti-inflammatory and anti-parasitic activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 19 OF 21 USPATFULL

87:75000 USPATFULL ACCESSION NUMBER:

TITLE:

Novel cyclosporins

INVENTOR(S):

Seebach, Dieter, Zurich, Switzerland

PATENT ASSIGNEE(S):

Sandoz Ltd., Basel, Switzerland (non-U.S. corporation)

NUMBER KIND DATE ______

PATENT INFORMATION:

US 4703033

19871027 19860307 (6)

US 1986-837434 APPLICATION INFO.:

NUMBER DATE

PRIORITY INFORMATION:

GB 1985-6230 19850311 GB 1985-11029 19850501 GB 1986-2370 19860131

DOCUMENT TYPE: FILE SEGMENT:

Utility Granted

PRIMARY EXAMINER: Phillips, Delbert K.

LEGAL REPRESENTATIVE: Sharkin, Gerald D., Honor, Robert S., McGovern, Thomas

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

17 1

LINE COUNT:

1262

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Cyclosporins e.g. of formula II ##STR1## in which X is -MeBmt- or -dihydro-MeBmt- and

Y is -.alpha.Abu-, -Thr-, -Val- or -Nva-,

wherein the residue at the 3-position, i.e. the residue Z in formula II, is an optically active, .alpha.-N-methylated .alpha.-amino acid residue of the (D)-configuration, possess pharmaceutical, in particular immunosuppressive, anti-inflammatory and anti-parasitic activity. Intermediate cyclosporin poly-anions having a de-protonated sarcosyl residue at the 3-position, e.g. polyanions of cyclosporins of formula II above wherein X and Y have the meanings given above and Z is -Sar-, in which the said residue Z is de-protonated, are also novel and part of the invention.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 20 OF 21 USPATFULL L5

ACCESSION NUMBER: 87:6447 USPATFULL

TITLE:

Novel cyclosporins

INVENTOR(S):

Wenger, Roland, Riehen, Switzerland Traber, Rene P., Basel, Switzerland Kobel, Hans, Basel, Switzerland Hofmann, Hans, Ettingen, Switzerland

PATENT ASSIGNEE(S):

Sandoz Ltd., Basel, Switzerland (non-U.S. corporation)

NUMBER KIND DATE _____ US 4639434 19870127

PATENT INFORMATION: APPLICATION INFO.:

US 1985-713429

19850319 (6)

NUMBER

DATE _____

PRIORITY INFORMATION:

GB 1984-7618 19840323 GB 1984-11922 19840510

DOCUMENT TYPE:

Utility

FILE SEGMENT:

Granted

PRIMARY EXAMINER:

Phillips, Delbert R.

LEGAL REPRESENTATIVE: Sharkin, Gerald D., Honor, Robert S., McGovern, Thomas

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NUMBER OF CLAIMS:

6 1

EXEMPLARY CLAIM:

880 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Cyclosporins wherein the amino acid residue at the 8-position is a (D)-acyloxy-.alpha.-amino acid residue, typically of formula ##STR1## wherein X=-MeBmt- or -dihydro-MeBmt-, Y=-.alpha.Abu-, -Ala-, -Thr-, -Val- or -Nva-, Z=-Val- or -Nva- and Q=R.sub.1 --CO--O--CH(R.sub.2)--CH(CO--) -- NH--wherein R.sub.1 = H, C.sub.1-4 alkyl or phenyl and R.sub.2 =H or CH.sub.3, possess immunosuppressive, anti-inflammatory and anti-parasitic activity.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L5 ANSWER 21 OF 21 USPATFULL

ACCESSION NUMBER: 83:20329 USPATFULL

TITLE:

Novel cyclosporins

INVENTOR(S):

Bollinger, Pietro, Bottmingen, Switzerland

Bolsterli, Johann J., Buus, Switzerland

Kobel, Hans, Basel, Switzerland

PATENT ASSIGNEE(S):

Sandoz Ltd., Basel, Switzerland (non-U.S. corporation)

NUMBER KIND DATE _______

PATENT INFORMATION: US 4384996 19830524 APPLICATION INFO.: US 1982-337485 19820106 (6)

NUMBER DATE ______

PRIORITY INFORMATION: GB 1981-566 19810109 GB 1981-567 19810109

Utility DOCUMENT TYPE:

FILE SEGMENT: Granted
PRIMARY EXAMINER: Phillips, Delbert R.
ASSISTANT EXAMINER: Moezie, F. T.

LEGAL REPRESENTATIVE: Sharkin, Gerald D., Honor, Robert S., McGovern, Thomas

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NUMBER OF CLAIMS:

1

EXEMPLARY CLAIM:

LINE COUNT:

480

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Novel cyclosporins having an -Allylgly- residue in the 2-position and/or

a -(D)-Ser- residue in the 8-position and process for their production.

The novel cyclosporins are useful as pharmaceuticals, e.g. as

immunosuppressive and anti-inflammatory agents.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.